

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

In re Application of:)
Von DEYN et al.) Group Art: 1626
Serial No. Continuation of) Examiner Gerstl
09/091,300)
Filed: June 16, 1998)
Issue Fee Paid: December 12, 2000)

For: 3-(HETEROACYCLYL-SUBSTITUTED BENZOYL DERIVATIVES

PRELIMINARY AMENDMENT

Hon. Commissioner of Patents and Trademarks
Washington, D.C. 20231

Sir:

Prior to examination of this continuation application, kindly amend the above-identified application as follows:

IN THE CLAIMS

Please cancel claim 24 and amend the claims as shown on the attached sheets.

R E M A R K S

The claims in the case are claims 1-23. Claims 1-23 were not prosecuted in the parent application. The claims have been amended to eliminate multiple dependency. No new matter has been added.

Entry of the above amendment is respectfully solicited.

Respectfully submitted,

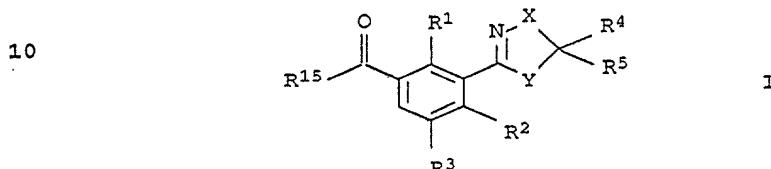
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5 1. A 3-heterocyclyl-substituted benzoyl derivative of the
formula I



where the variables have the following meanings:

20 R^1, R^2 are hydrogen, nitro, halogen, cyano, C_1 - C_6 -alkyl, C_1 - C_6 -haloalkyl, C_1 - C_6 -alkoxy, C_1 - C_6 -haloalkoxy, C_1 - C_6 -alkylthio, C_1 - C_6 -haloalkylthio, C_1 - C_6 -alkylsulfinyl, C_1 - C_6 -haloalkylsulfinyl, C_1 - C_6 -alkylsulfonyl or C_1 - C_6 -haloalkylsulfonyl;

25 R^3 is hydrogen, halogen or C_1 - C_6 -alkyl;

30 R^4, R^5 are hydrogen, halogen, cyano, nitro, C_1 - C_4 -alkyl, C_1 - C_4 -alkoxy- C_1 - C_4 -alkyl, di(C_1 - C_4 -alkoxy)- C_1 - C_4 -alkyl, di(C_1 - C_4 -alkyl)-amino- C_1 - C_4 -alkyl, [2,2-di(C_1 - C_4 -alkyl)-1-hydrazino]- C_1 - C_4 -alkyl, C_1 - C_6 -alkyliminoxy- C_1 - C_4 -alkyl, C_1 - C_4 -alkoxycarbonyl- C_1 - C_4 -alkyl, C_1 - C_4 -alkylthio- C_1 - C_4 -alkyl, C_1 - C_4 -haloalkyl, C_1 - C_4 -cyanoalkyl, C_3 - C_8 -cycloalkyl, C_1 - C_4 -alkoxy, C_1 - C_4 -alkoxy- C_2 - C_4 -alkoxy, C_1 - C_4 -haloalkoxy, hydroxyl, C_1 - C_4 -alkylcarbonyloxy, C_1 - C_4 -alkylthio, C_1 - C_4 -haloalkylthio, di(C_1 - C_4 -alkyl)amino, COR⁶, phenyl or benzyl, it being possible for the two last-mentioned substituents to be fully or partially halogenated and/or to have attached to them one to three of the following groups:

35 nitro, cyano, C_1 - C_4 -alkyl, C_1 - C_4 -haloalkyl, C_1 - C_4 -alkoxy or C_1 - C_4 -haloalkoxy;

40

45 or

5 R⁴ and R⁵ together form a C₂-C₆-alkanediyl chain which can be mono- to tetrasubstituted by C₁-C₄-alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C₁-C₄-alkyl;

or

10 R⁴ and R⁵ together with the corresponding carbon form a carbonyl or thiocarbonyl group;

15 R⁶ is hydrogen, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy, C₁-C₄-alkoxy-C₂-C₄-alkoxy, C₁-C₄-haloalkoxy, C₃-C₆-alkenyloxy, C₃-C₆-alkynyloxy or NR⁷R⁸;

R⁷ is hydrogen or C₁-C₄-alkyl;

20 R⁸ is C₁-C₄-alkyl;

X is O, S, NR⁹, CO or CR¹⁰R¹¹;

25 Y is O, S, NR¹², CO or CR¹³R¹⁴;

R⁹, R¹² are hydrogen or C₁-C₄-alkyl;

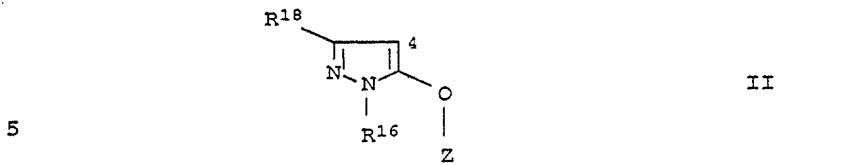
30 R¹⁰, R¹¹, R¹³, R¹⁴ are hydrogen, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxycarbonyl, C₁-C₄-haloalkoxycarbonyl or CONR⁷R⁸;

or

35 R⁴ and R⁹ or R⁴ and R¹⁰ or R⁵ and R¹² or R⁵ and R¹³ together form a C₂-C₆-alkanediyl chain which can be mono- to tetrasubstituted by C₁-C₄-alkyl and/or interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C₁-C₄-alkyl;

40 R¹⁵ is a pyrazole of the formula II which is linked in the 4-position

45



where

10 R^{16} is $C_1\text{-}C_6\text{-alkyl}$;

15 Z is H or SO_2R^{17} ;

20 R^{17} is $C_1\text{-}C_4\text{-alkyl}$, $C_1\text{-}C_4\text{-haloalkyl}$, phenyl or phenyl which is partially or fully halogenated and/or has attached to it one to three of the following groups: nitro, cyano, $C_1\text{-}C_4\text{-alkyl}$, $C_1\text{-}C_4\text{-haloalkyl}$, $C_1\text{-}C_4\text{-alkoxy}$ or $C_1\text{-}C_4\text{-haloalkoxy}$;

25 R^{18} is hydrogen or $C_1\text{-}C_6\text{-alkyl}$;

25 where X and Y are not simultaneously sulfur;

30 with the exception of
 4-[2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl]-1-ethyl-5-hydroxy-1H-pyrazole,
 4-[2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl]-1,3-dimethyl-5-hydroxy-1H-pyrazole,
 4-[2-chloro-3-(5-cyano-4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl]-1,3-dimethyl-5-hydroxy-1H-pyrazole,
 4-[2-chloro-3-(4,5-dihydrothiazol-2-yl)-4-methylsulfonylbenzoyl]-1,3-dimethyl-5-hydroxy-1H-pyrazole and
35 4-[2-chloro-3-(thiazoline-4,5-dion-2-yl)-4-methylsulfonylbenzoyl]-1,3-dimethyl-5-hydroxy-1H-pyrazole;

40 or an agriculturally useful salt thereof.

40 2. A 3-heterocyclyl-substituted benzoyl derivative of the formula I where the variables have the following meanings:

45 R^1 , R^2 are hydrogen, nitro, halogen, cyano, $C_1\text{-}C_6\text{-alkyl}$, $C_1\text{-}C_6\text{-haloalkyl}$, $C_1\text{-}C_6\text{-alkoxy}$, $C_1\text{-}C_6\text{-haloalkoxy}$, $C_1\text{-}C_6\text{-alkylthio}$, $C_1\text{-}C_6\text{-haloalkylthio}$,

C_1 - C_6 -alkylsulfinyl, C_1 - C_6 -haloalkylsulfinyl,
 C_1 - C_6 -alkylsulfonyl or C_1 - C_6 -haloalkylsulfonyl;

5 R^3 is hydrogen, halogen or C_1 - C_6 -alkyl;

10 R^4 , R^5 are hydrogen, halogen, cyano, nitro, C_1 - C_4 -alkyl,
 C_1 - C_4 -alkoxy- C_1 - C_4 -alkyl, di(C_1 - C_4 -alkoxy)- C_1 - C_4 -alkyl,
 C_1 - C_4 -alkyl, di(C_1 - C_4 -alkyl)-amino- C_1 - C_4 -alkyl,
[2,2-di(C_1 - C_4 -alkyl)-1-hydrazino]- C_1 - C_4 -alkyl,
 C_1 - C_6 -alkyliminoxy- C_1 - C_4 -alkyl, C_1 - C_4 -alkoxycarbonyl-
 C_1 - C_4 -alkyl, C_1 - C_4 -alkylthio- C_1 - C_4 -alkyl,
 C_1 - C_4 -haloalkyl, C_1 - C_4 -cyanoalkyl, C_3 - C_8 -cycloalkyl,
 C_1 - C_4 -alkoxy, C_1 - C_4 -alkoxy- C_2 - C_4 -alkoxy,
 C_1 - C_4 -haloalkoxy, C_1 - C_4 -alkylthio,
 C_1 - C_4 -haloalkylthio, di(C_1 - C_4 -alkyl)amino, COR^6 ,
phenyl or benzyl, it being possible for the two
last-mentioned substituents to be fully or partially
halogenated and/or to have attached to them one to
three of the following groups:
nitro, cyano, C_1 - C_4 -alkyl, C_1 - C_4 -haloalkyl,
 C_1 - C_4 -alkoxy or C_1 - C_4 -haloalkoxy;

15 or

20 25 R^4 and R^5 together form a C_2 - C_6 -alkanediyl chain which can be
mono- to tetrasubstituted by C_1 - C_4 -alkyl and/or
which can be interrupted by oxygen or by a
nitrogen which is unsubstituted or substituted by
 C_1 - C_4 -alkyl;

30 35 or

35 R^4 and R^5 together with the corresponding carbon form a
carbonyl or thiocarbonyl group;

40 45 R^6 is C_1 - C_4 -alkyl, C_1 - C_4 -haloalkyl, C_1 - C_4 -alkoxy,
 C_1 - C_4 -alkoxy- C_2 - C_4 -alkoxy, C_1 - C_4 -haloalkoxy,
 C_3 - C_6 -alkenyloxy, C_3 - C_6 -alkynyoxy or NR^7R^8 ;
 R^7 is hydrogen or C_1 - C_4 -alkyl;
 R^8 is C_1 - C_4 -alkyl;

5 X is O, S, NR⁹, CO or CR¹⁰R¹¹;

10 Y is O, S, NR¹², CO or CR¹³R¹⁴;

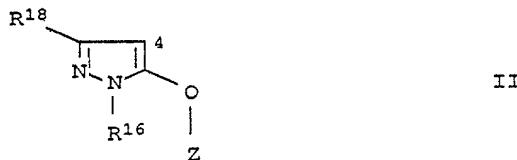
15 R⁹, R¹² are hydrogen or C₁-C₄-alkyl;

20 R¹⁰, R¹¹, R¹³, R¹⁴ are hydrogen, C₁-C₄-alkyl, C₁-C₄-haloalkyl,
C₁-C₄-alkoxycarbonyl, C₁-C₄-haloalkoxycarbonyl or
CONR⁷R⁸;

25 or

30 R⁴ and R⁹ or R⁴ and R¹⁰ or R⁵ and R¹² or R⁵ and R¹³ together
35 form a C₂-C₆-alkanediyl chain which can be mono- to
tetrasubstituted by C₁-C₄-alkyl and/or interrupted
by oxygen or by a nitrogen which is unsubstituted
or substituted by C₁-C₄-alkyl;

40 R¹⁵ is a pyrazole of the formula II which is linked in
45 the 4-position



50 where

55 R¹⁶ is C₁-C₆-alkyl;

60 Z is H or SO₂R¹⁷;

65 R¹⁷ is C₁-C₄-alkyl, C₁-C₄-haloalkyl, phenyl or
70 phenyl which is partially or fully
75 halogenated and/or has attached to it one
80 to three of the following groups:
85 nitro, cyano, C₁-C₄-alkyl, C₁-C₄-haloalkyl,
90 C₁-C₄-alkoxy or C₁-C₄-haloalkoxy;

95 R¹⁸ is hydrogen or C₁-C₆-alkyl;

where X and Y are not simultaneously oxygen or sulfur;

with the exception of

5 4-[2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonyl-
benzoyl]-1-ethyl-5-hydroxy-1H-pyrazole,
4-[2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonyl-
benzoyl]-1,3-dimethyl-5-hydroxy-1H-pyrazole,
4-[2-chloro-3-(5-cyano-4,5-dihydroisoxazol-3-yl)-4-methyl-
sulfonylbenzoyl]-1,3-dimethyl-5-hydroxy-1H-pyrazole,
10 4-[2-chloro-3-(4,5-dihydrothiazol-2-yl)-4-methylsulfonyl-
benzoyl]-1,3-dimethyl-5-hydroxy-1H-pyrazole and
4-[2-chloro-3-(thiazoline-4,5-dion-2-yl)-4-methylsulfonyl-
benzoyl]-1,3-dimethyl-5-hydroxy-1H-pyrazole;

15 or an agriculturally useful salt thereof.

3. A 3-heterocyclyl-substituted benzoyl derivative of the
formula I as claimed in claim 1 [or 2], where R³ is hydrogen.

20 4. A 3-heterocyclyl-substituted benzoyl derivative of the
formula I as claimed in claim 1 [any of claims 1 to 3], where

25 R¹, R² are nitro, halogen, cyano, C₁-C₆-alkyl,
C₁-C₆-haloalkyl, C₁-C₆-alkoxy, C₁-C₆-haloalkoxy,
C₁-C₆-alkylthio, C₁-C₆-haloalkylthio,
C₁-C₆-alkylsulfinyl, C₁-C₆-haloalkylsulfinyl,
C₁-C₆-alkylsulfonyl or C₁-C₆-haloalkylsulfonyl.

30 5. A 3-heterocyclyl-substituted benzoyl derivative of the
formula I as claimed in claim 1 [any of claims 1 to 4], where z is
SO₂R¹⁷.

35 6. A 3-heterocyclyl-substituted benzoyl derivative of the
formula I as claimed in claim 1 [any of claims 1 to 4], where z is
hydrogen.

40 7. A 3-heterocyclyl-substituted benzoyl derivative of the
formula I as claimed in claim 1 [any of claims 1 to 4 or 6], where X is
oxygen and Y is CR¹³R¹⁴.

45 8. A 3-heterocyclyl-substituted benzoyl derivative of the
formula I as claimed in claim 1 [any of claims 1 to 4 or 6 or 7], where

5 R⁴ is halogen, nitro, C₁-C₄-alkyl,
 C₁-C₄-alkoxy-C₁-C₄-alkyl,
 C₁-C₄-alkoxycarbonyl-C₁-C₄-alkyl,
 C₁-C₄-alkylthio-C₁-C₄-alkyl, C₁-C₄-haloalkyl,
 C₁-C₄-cyanoalkyl, C₃-C₈-cycloalkyl, C₁-C₄-alkoxy,
 C₁-C₄-alkoxy-C₂-C₄-alkoxy, C₁-C₄-haloalkoxy,
 C₁-C₄-alkylthio, C₁-C₄-haloalkylthio,
 di(C₁-C₄-alkyl)amino, COR⁶, phenyl or benzyl, it
 being possible for the two last-mentioned
10 substituents to be partially or fully halogenated
 and/or to have attached to them one to three of
 the following groups:
 nitro, cyano, C₁-C₄-alkyl, C₁-C₄-haloalkyl,
 C₁-C₄-alkoxy or C₁-C₄-haloalkoxy;

15 R⁵ is hydrogen or C₁-C₄-alkyl;
 or

20 R⁴ and R⁵ together form a C₂-C₆-alkanediyl chain which can be
 mono- to tetrasubstituted by C₁-C₄-alkyl and/or
 which can be interrupted by oxygen or by a
 nitrogen which is unsubstituted or substituted by
 C₁-C₄-alkyl;

25 or

30 R⁵ and R¹³ together form a C₂-C₆-alkanediyl chain which can be
 mono- to tetrasubstituted by C₁-C₄-alkyl and/or
 which can be interrupted by oxygen or by a
 nitrogen which is unsubstituted or substituted by
 C₁-C₄-alkyl.

35 9. A 3-heterocyclyl-substituted benzoyl derivative of the
 formula I as claimed in claim 1 [any of claims 1 to 4 or 6 to 8], where

40 R⁴ is C₁-C₄-alkyl, C₁-C₄-haloalkyl,
 C₁-C₄-alkoxycarbonyl or CONR⁷R⁸;

45 R⁵ is hydrogen or C₁-C₄-alkyl;
 or

R⁴ and R⁵ together form a C₂-C₆-alkanediyl chain which can be mono- to tetrasubstituted by C₁-C₄-alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C₁-C₄-alkyl;

5

or

10 R⁵ and R¹³ together form a C₂-C₆-alkanediyl chain which can be mono- to tetrasubstituted by C₁-C₄-alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C₁-C₄-alkyl.

15

10. A 3-heterocyclyl-substituted benzoyl derivative of the formula I as claimed in claim 1 [any of claims 1 to 4 or 6 or 7], where R⁴ and R⁵ are hydrogen.

20

11. A 3-heterocyclyl-substituted benzoyl derivative of the formula I as claimed in claim 1 [any of claims 1 to 4 or 6 or 7 or 10], where R¹⁸ is hydrogen.

25

12. 4-[2-Chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonyl-benzoyl]-1-methyl-5-hydroxy-1H-pyrazole.

30

13. An agriculturally useful salt of 4-[2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl]-1-methyl-5-hydroxy-1H-pyrazole.

35

14. A 3-heterocyclyl-substituted benzoyl derivative of the formula I as claimed in claim 1 [any of claims 1 to 4 or 6], where

40

X is S, NR⁹, CO or CR¹⁰R¹¹;

or

45 Y is O, S, NR¹² or CO.

15. A 3-heterocyclyl-substituted benzoyl derivative of the formula I as claimed in claim 1 [any of claims 1 to 4 or 6 or 14], where R¹⁸ is hydrogen.

16. A 3-heterocyclyl-substituted benzoyl derivative of the formula I as claimed in claim 1 [any of claims 1 to 4 or 6 or 14], where

5 R⁴ is halogen, cyano, nitro, C₁-C₄-alkyl, C₁-C₄-alkoxy-C₁-C₄-alkyl, C₁-C₄-alkoxycarbonyl-C₁-C₄-alkyl, C₁-C₄-alkylthio-C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-cyanoalkyl, C₃-C₈-cycloalkyl, C₁-C₄-alkoxy, C₁-C₄-alkoxy-C₂-C₄-alkoxy, C₁-C₄-haloalkoxy, C₁-C₄-alkylthio, C₁-C₄-haloalkylthio, di(C₁-C₄-alkyl)amino, COR⁶, phenyl or benzyl, it being possible for the two last-mentioned substituents to be partially or fully halogenated and/or to have attached to them one to three of the following groups: nitro, cyano, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy or C₁-C₄-haloalkoxy;

10 R⁵ is hydrogen or C₁-C₄-alkyl;

15 or

20 R⁴ and R⁵ together form a C₂-C₆-alkanediyl chain which can be mono- to tetrasubstituted by C₁-C₄-alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C₁-C₄-alkyl;

25 or

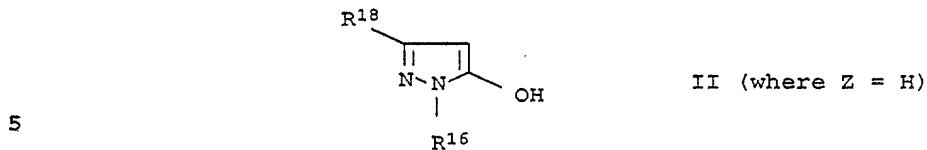
30 R⁴ and R⁹ or R⁴ and R¹⁰ or R⁵ and R¹² or R⁵ and R¹³ together form a C₂-C₆-alkanediyl chain which can be mono- to tetrasubstituted by C₁-C₄-alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C₁-C₄-alkyl;

35 R¹⁸ is C₁-C₆-alkyl.

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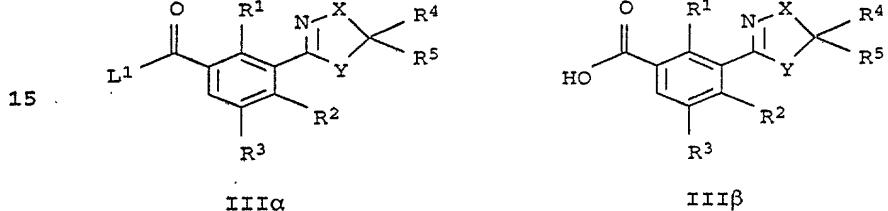
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17. A process for the preparation of 3-heterocyclyl-substituted benzoyl derivatives of the formula I as claimed in claim 1, which comprises acylating the pyrazole of the formula II where Z = H, where the variables R¹⁶ and R¹⁸ have the meanings given under claim 1.



10 with an activated carboxylic acid IIIa or with a carboxylic acid III β ,

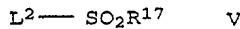
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20 where the variables R¹ to R⁵, X and Y have the meanings given under claim 1 and L¹ is a nucleophilically displaceable leaving group, subjecting the acylation product to a rearrangement reaction in the presence or absence of a catalyst to give the compounds I (where Z = H) and, if desired, to prepare 3-heterocyclyl-substituted benzoyl derivatives of the formula I where Z = SO₂R¹⁷, reacting the product with a compound of the formula V,

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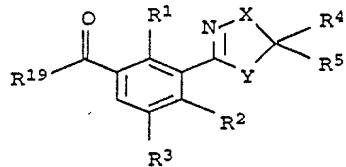


35 where R¹⁷ has the meaning given under claim 1 and L² is a nucleophilically displaceable leaving group.

40 18. A 3-heterocyclyl-substituted benzoic acid derivative of the formula III,

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where R^{19} is hydroxyl or a radical which can be removed by hydrolysis and variables R^1 to R^5 , X and Y have the meanings given under [the claims 1 to 16] claim 1, with the exception of methyl

15 2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoate, methyl 2-chloro-3-(4,5-dihydrooxazol-2-yl)-4-methylsulfonylbenzoate and methyl 2,4-dichloro-3-(5-methylcarbonyloxy-4,5-dihydroisoxazol-3-yl)benzoate.

20 19. A 3-heterocyclyl-substituted benzoic acid derivative of the formula III [as claimed in claim 18] where the variables R^1 to R^5 , X and Y have the meanings given under claim 2 [claims 2 to 16].

25 20. A 3-heterocyclyl-substituted benzoic acid derivative of the formula III as claimed in claim 18 [either of claims 18 or 19], where

R^{19} is halogen, hydroxyl or $\text{C}_1\text{-C}_6\text{-alkoxy}$.

30 21. A composition comprising a herbicidally active amount of at least one 3-heterocyclyl-substituted benzoyl derivative of the formula I or of an agriculturally useful salt of I as claimed in claim 1 [any of claims 1 to 16], and auxiliaries conventionally used for the formulation of crop protection products.

40 22. A process for the preparation of a composition as claimed in claim 21, which comprises mixing a herbicidally active amount of at least one 3-heterocyclyl-substituted benzoyl derivative of the formula I or of an agriculturally useful salt of I [as claimed in any of claims 1 to 16] and auxiliaries conventionally used for the formulation of crop protection products.

45 23. A method of controlling undesirable vegetation, which comprises allowing a herbicidally active amount of at least one 3-heterocyclyl-substituted benzoyl derivative of the

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formula I or of an agriculturally useful salt of I as claimed in claim 1 [any of claims 1 to 16] to act on plants, their environment and/or on seeds.

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